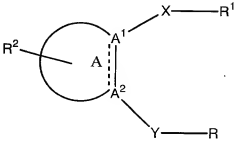
WHAT IS CLAIMED IS:

1. A compound of formula I



I

wherein each of A^1 and A^2 is independently C, or N;

wherein ring A is selected from

a) 5- or 6-membered partially saturated heterocyclyl,

b) 5- or 6-membered heteroaryl,

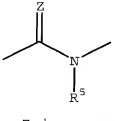
c) 9- or 10-membered fused partially saturated heterocyclyl,

d) 9-, 10- or 11-membered fused heteroaryl;

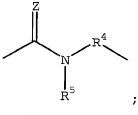
e) naphthyl, and

f) 4-, 5- or 6- membered cycloalkenyl;

wherein X is selected from



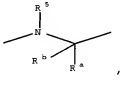
and



;

wherein Z is oxygen or sulfur;

wherein Y is selected from



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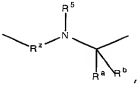

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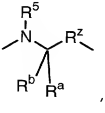

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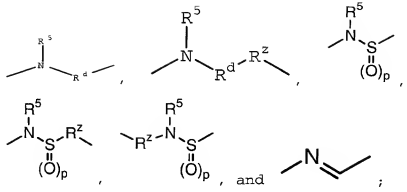


wherein p is 0 to 2,

wherein R^a and R^b are independently selected from H, halo, cyano, $-NHR^6$ and C_{1-4}-alkyl substituted with R^2, or wherein R^a and R^b together form C_3-C_6 cycloalkyl;

wherein R^z is selected from C_1-C_4 alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-;

wherein R^d is cycloalkyl;

wherein R is selected from

a) substituted or unsubstituted 5-6 membered heterocyclyl, and

b) substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^1 is selected from

a) substituted or unsubstituted 6-10 membered aryl,

b) substituted or unsubstituted 5-6 membered heterocyclyl,

c) substituted or unsubstituted 9-11 membered fused heterocyclyl,

d) cycloalkyl, and

e) cycloalkenyl,

wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$ alkylenyl$R^{14})$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-COR^3$, $-CONR^3R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted phenylalkylenyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R^3 is independently selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C_3-C_6 cycloalkyl, and lower haloalkyl;

wherein R^4 is independently selected from C_2-C_4 alkylenyl, C_2-C_4 alkenylenyl and C_2-C_4 alkynylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-;

wherein R^5 is selected from H, lower alkyl, phenyl and lower aralkyl; and

wherein R^6 is selected from H or C_{1-6}-alkyl;

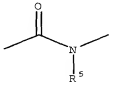
wherein R^{14} is selected from H, phenyl, 5-6 membered heterocyclyl and C_3-C_6 cycloalkyl;

and pharmaceutically acceptable salts thereof;

provided A is not naphthyl when X is -C(O)NH- and when R^1 is phenyl when Y is $-NHCH_2-$ and when R is 4-pyridyl; further provided A is not pyridyl when X is -C(O)NH- and when Y is $-NHCH_2-$ and when R is 4-pyridylpiperidin-4-yl, 1-tertbutylpiperidin-4-yl, 1-isopropylpiperidin-4-yl or 1-cycloalkylpiperidin-4-yl; further provided A is not pyridyl when X is -C(O)NH- and when R^1 is 4-[3-(3-pyridyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl when Y is $-NHCH_2-$ and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is $-NHCH_2-$.

2. Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is selected from 5- or 6- membered partially saturated heterocyclyl.

3. Compound of Claim 2, and pharmaceutically acceptable salts thereof, wherein A is selected from dihydropyran, dihydrothienyl, dihydrofuryl, oxo-dihydrofuryl, pyrrolinyl, dihydrothiazolyl, dihydro-oxazolyl, dihydro-isothiazolyl, dihydro-isoxazolyl, imidazolinyl and pyrazolinyl; wherein X is selected from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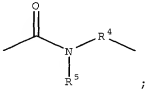
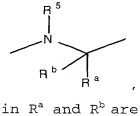

; wherein Y is selected from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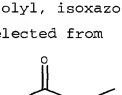
wherein R^a and R^b are independently selected from H, halo, cyano, and C_{1-2}-alkyl substituted with R^z, or wherein R^a and R^b together form C_3-C_4 cycloalkyl; wherein R^z is C_1-C_2

alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_2$ alkylenyl$R^3)$, $-(C_1-C_2$ alkylenyl$)NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-2}-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C_1-C_2-alkylenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, C_{1-3}-carboxyalkyl, nitro, C_{2-3}-alkenyl, C_{2-3}-alkynyl and C_{1-2}-haloalkyl; wherein R^3 is selected from H, C_{1-2}-alkyl, phenyl, C_3-C_6 cycloalkyl and C_{1-2}-haloalkyl; wherein R^4 is C_{2-3}-alkylenyl, where one of the CH_2

groups may be substituted with an oxygen atom or an -NH-; and wherein R^5 is selected from H and C_{1-2}-alkyl.

4. Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is selected from 5- or 6- membered heteroaryl.

5. Compound of Claim 4, and pharmaceutically acceptable salts thereof, wherein A is selected from pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl, thienyl, furanyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyrazolyl, isoxazolyl, triazolyl and isothiazolyl; wherein X is selected from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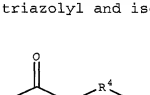
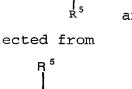

; wherein Y is selected from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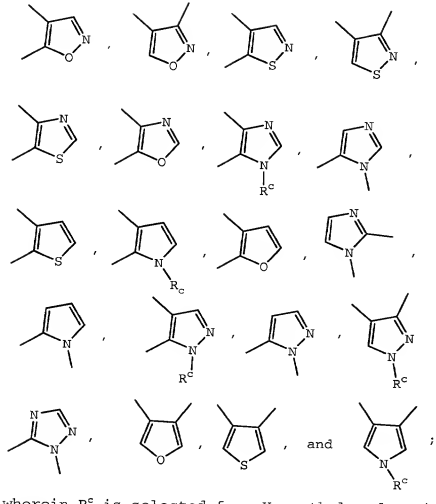
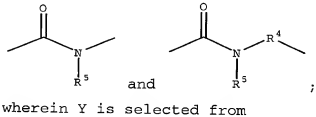
wherein R^a and R^b are independently selected from H, halo, cyano, and C_{1-2}-alkyl substituted with R^2, or wherein R^a and R^b together form C_3-C_4 cycloalkyl; wherein R^2 is C_1-C_2 alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-

6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_2$ alkylenyl$R^3)$, $-(C_1-C_2$ alkylenyl$)NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-2}-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C_{1-2}-alkylenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, C_{1-3}-carboxyalkyl, nitro, C_{2-3}-alkenyl, C_{2-3}-alkynyl and C_{1-2}-haloalkyl; wherein R^3 is selected from H, C_{1-2}-alkyl, phenyl, C_3-C_6 cycloalkyl and C_{1-2}-haloalkyl; wherein R^4 is C_{2-3}-alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-; and wherein R^5 is selected from H and C_{1-2}-alkyl.

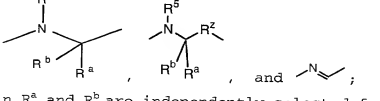
6. Compound of Claim 1 wherein A is selected from



wherein R^c is selected from H, methyl and optionally substituted phenyl; wherein X is selected from



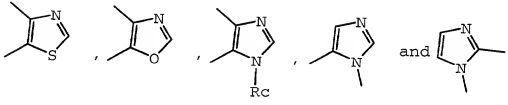
wherein Y is selected from



wherein R^a and R^b are independently selected from H, halo, cyano, and C_{1-2}-alkyl substituted with R^2, or wherein R^a and R^b together form C_3-C_4 cycloalkyl; wherein R^z is C_1-C_2 alkylenyl, where one of the CH_2 groups may be substituted

with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_2$ alkylenyl$R^3)$, $-(C_1-C_2$ alkylenyl$)NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-2}-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C_1-C_2-alkylenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, C_{1-3}-carboxyalkyl, nitro, C_{2-3}-alkenyl, C_{2-3}-alkynyl and C_{1-2}-haloalkyl; wherein R^3 is selected from H, C_{1-2}-alkyl, phenyl, C_3-C_6 cycloalkyl and C_{1-2}-haloalkyl; wherein R^4 is C_{2-3}-alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-; and wherein R^5 is selected from H and C_{1-2}-alkyl.

7. Compound of Claim 6 wherein A is selected from



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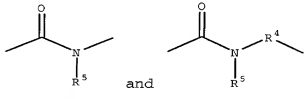
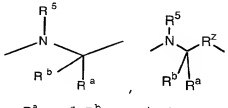
wherein R^c is selected from H, methyl and optionally substituted phenyl; wherein X is selected from



; wherein Y is selected from



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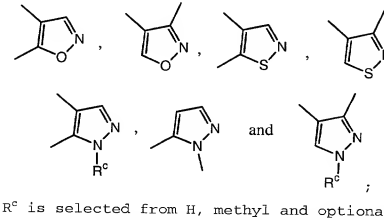
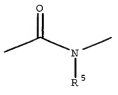
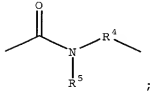
wherein R^a and R^b are independently selected from H, halo, and C_{1-2}-alkyl; wherein R^z is C_1-C_2 alkylenyl; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-pyridazinyl, indazolyl, quinolinyl, isoquinolinyl, quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^1 is a substituted or unsubstituted substituent selected from phenyl, indenyl, thienyl, indolyl, pyridyl, naphthyl, tetrahydronaphthyl, 2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl, thiazolyl, thiadiazolyl, tetrahydroquinolinyl,

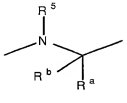
benzodioxanyl, quinazolinyl, furyl and pyrrolyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_2-alkylenyl-R^3)$, $-(C_1-C_2-alkylenyl)NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-2}-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C_1-C_2-alkylenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, C_{1-3}-carboxyalkyl, nitro, C_{2-3}-alkenyl, C_{2-3}-alkynyl and C_{1-2}-haloalkyl; wherein R^3 is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R^4 is C_{2-3}-alkylenyl; and wherein R^5 is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

8. Compound of Claim 6 wherein A is selected from



wherein R^c is selected from H, methyl and optionally substituted phenyl; wherein X is selected from

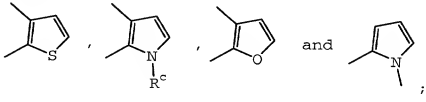
 and  ; wherein Y is selected from

 ,  , and  ;

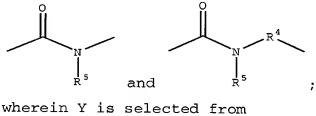
wherein R^a and R^b are independently selected from H, halo, and C_{1-2}-alkyl; wherein R^z is C_1-C_2 alkylenyl; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-pyridazinyl, indazolyl, quinolinyl, isoquinolinyl, quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^1 is a substituted or unsubstituted substituent selected from phenyl, indenyl, thienyl, indolyl, pyridyl, naphthyl, tetrahydronaphthyl, 2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl, thiazolyl, thiadiazolyl, tetrahydroquinolinyl, benzodioxanyl, quinazolinyl, furyl and pyrrolyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_2\text{-alkylenyl-}R^3)$, $-(C_1-C_2\text{-alkylenyl})NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-2}-alkylenyl, optionally substituted 5-6

membered heterocyclyl-C_1-C_2-alkylenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, C_{1-3}-carboxyalkyl, nitro, C_{2-3}-alkenyl, C_{2-3}-alkynyl and C_{1-2}-haloalkyl; wherein R^3 is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R^4 is C_{2-3}-alkylenyl; and wherein R^5 is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

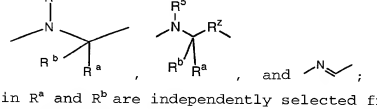
9. Compound of Claim 6 wherein A is selected from



wherein R^c is selected from H, methyl and optionally substituted phenyl; wherein X is selected from



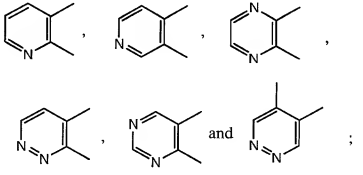
wherein Y is selected from



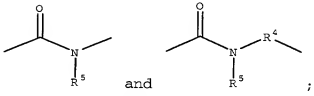
wherein R^a and R^b are independently selected from H, halo, and C_{1-2}-alkyl; wherein R^z is C_1-C_2 alkylenyl; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-

pyridazinyl, indazolyl, quinolinyl, isoquinolinyl, quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^1 is a substituted or unsubstituted substituent selected from phenyl, indenyl, thienyl, indolyl, pyridyl, naphthyl, tetrahydronaphthyl, 2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl, thiazolyl, thiadiazolyl, tetrahydroquinolinyl, benzodioxanyl, quinazolinyl, furyl and pyrrolyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_2$-alkylenyl-$R^3)$, $-(C_1-C_2$-alkylenyl$)NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-2}-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C_1-C_2-alkylenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, C_{1-3}-carboxyalkyl, nitro, C_{2-3}-alkenyl, C_{2-3}-alkynyl and C_{1-2}-haloalkyl; wherein R^3 is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R^4 is C_{2-3}-alkylenyl; and wherein R^5 is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

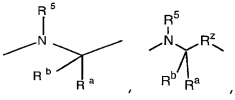
10. Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is selected from



wherein X is selected from



wherein Y is selected from



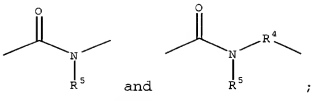
wherein R^a and R^b are independently selected from H, halo, and C_{1-2}-alkyl; wherein R^z is C_1-C_2 alkylenyl; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-pyrimidinyl, 5-pyrimidinyl, 6-pyrimidinyl, 4-pyridazinyl, 6-pyridazinyl, indazolyl, quinolinyl, isoquinolinyl, quinazolinyl, triazolyl and 4-pyrazolyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^1 is a substituted or unsubstituted substituent group selected from phenyl, indenyl, thienyl, indolyl, pyridyl, naphthyl,

tetrahydronaphthyl, 2,1,3-benzothiadiazolyl, indazolyl, quinolyl, isoquinolyl, pyrimidinyl, pyridazinyl, pyrazolyl, imidazolyl, oxazolyl, thiazolyl, thiadiazolyl, tetrahydroquinolinyl, benzodioxanyl, quinazolinyl, furyl and pyrrolyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_2\text{-alkylenyl-}R^3)$, $-(C_1-C_2\text{-alkylenyl})NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-2}-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C_1-C_2-alkylenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, C_{1-3}-carboxyalkyl, nitro, C_{2-3}-alkenyl, C_{2-3}-alkynyl and C_{1-2}-haloalkyl; wherein R^3 is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R^4 is C_{2-3}-alkylenyl; and wherein R^5 is from H, methyl or ethyl; and pharmaceutically acceptable salts thereof.

11. Compound of Claim 10, and pharmaceutically acceptable salts thereof, wherein A is  , or  ; wherein X is -C(O)-NH-; wherein Y is $-NH-CH_2-$; wherein R is selected from substituted or unsubstituted 4-pyridyl, 4-quinolyl, 5-quinolyl, 6-quinolyl, 4-isoquinolyl, 5-isoquinolyl, 6-isoquinolyl, 5-indazolyl, 4-pyrimidinyl and

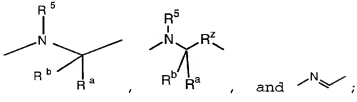
4-pyridazinyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^1 is selected from substituted or unsubstituted phenyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, isoquinolyl, quinolyl, tetrahydroquinolyl, benzodioxanyl, and quinazolinyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-2}-alkylenyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, C_{1-3}-carboxyalkyl, nitro, C_{2-3}-alkenyl, C_{2-3}-alkynyl and C_{1-2}-haloalkyl; wherein R^3 is selected from H, methyl, ethyl, cyclopropyl, cyclohexyl and trifluoromethyl; wherein R^4 is C_{2-3}-alkylenyl; and wherein R^5 is from H, methyl or ethyl.

12. Compound of Claim 1 wherein A is 9- or 10-membered fused partially saturated heterocyclyl or 9- or 10-membered fused heteroaryl; wherein X is selected from



and ;

wherein Y is selected from

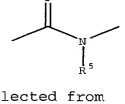
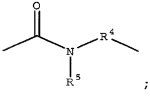


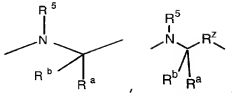
wherein R^a and R^b are independently selected from H, halo, cyano, and C_{1-2}-alkyl substituted with R^2, or wherein R^a and R^b together form C_3-C_4 cycloalkyl; wherein R^z is C_1-C_2 alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1$-C_2 alkylenyl$R^3)$, $-(C_1$-C_2 alkylenyl$)NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally

substituted phenyl-C_{1-2}-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C_1-C_2-alkylenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, C_{1-3}-carboxyalkyl, nitro, C_{2-3}-alkenyl, C_{2-3}-alkynyl and C_{1-2}-haloalkyl; wherein R^3 is selected from H, C_{1-2}-alkyl, phenyl, C_3-C_6 cycloalkyl and C_{1-2}-haloalkyl; wherein R^4 is C_{2-3}-alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-; and wherein R^5 is selected from H and C_{1-2}-alkyl; and pharmaceutically acceptable salts thereof.

13. Compound of Claim 12 wherein A is selected from benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, benzthiazolyl, indazolyl, indolyl, isoindolyl, quinolyl, isoquinolyl, naphthpyridinyl, tetrahydroquinolyl, quinoxalinyl and quinazolinyl;
and pharmaceutically acceptable salts thereof.

14. Compound of Claim 1, and pharmaceutically acceptable salts thereof, wherein A is 5- or 6-membered cycloalkenyl; wherein X is selected from


and

; wherein Y is selected from



, and ; wherein R^a and R^b are independently selected from H, halo, cyano, and C_{1-2}-alkyl substituted with R^2, or wherein R^a and R^b together form C_3-C_4 cycloalkyl; wherein R^z is C_1-C_2 alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-; wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, and substituted or unsubstituted 9-10 membered fused heteroaryl comprising one or more nitrogen atoms; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, and substituted or unsubstituted 9-10 membered fused heteroaryl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1$-C_2 alkylenyl$R^3)$, $-(C_1$-C_2 alkylenyl$)NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-2}-alkylenyl, optionally substituted 5-6 membered heterocyclyl-$C_{1-2}C_2$-alkylenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, nitro and C_{1-2}-haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, -

$NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C_{1-2}-alkyl, cyano, C_{1-2}-hydroxyalkyl, C_{1-3}-carboxyalkyl, nitro, C_{2-3}-alkenyl, C_{2-3}-alkynyl and C_{1-2}-haloalkyl; wherein R^3 is selected from H, C_{1-2}-alkyl, phenyl, C_3-C_6 cycloalkyl and C_{1-2}-haloalkyl; wherein R^4 is C_{2-3}-alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-; and wherein R^5 is selected from H and C_{1-2}-alkyl.

15. Compound of Claim 14 wherein A is cyclopentadienyl or cyclopentenyl; and pharmaceutically acceptable salts thereof.

16. Compound of Claim 1 and pharmaceutically acceptable salts thereof selected from

N-(4-chlorophenyl)-3-[(4-pyridinylmethylene)amino]-4-pyridinecarboxamide;

N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-thienyl)}carboxamide;

N-phenyl{3-[(4-pyridylmethyl)amino](2-thienyl)}carboxamide;

N-(4-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(3,4-dichlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}-carboxamide;

N-(3-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-pyridyl)}carboxamide;

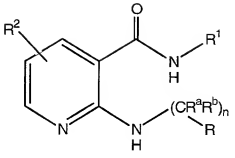
N-(4-chlorophenyl){3-[(6-quinolylmethyl)amino](2-pyridyl)}carboxamide;

N-(3,4-dichlorophenyl){2-[(6-quinolylmethyl)amino](3-pyridyl)}-carboxamide;

N-(4-chlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(3,4-dichlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-(3-fluoro-4-methylphenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-(3,4-dichlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-(4-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
{6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}-N-(3-fluorophenyl)carboxamide;
N-(3-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](4-pyridyl)}carboxamide;
N-(3-fluoro-4-methylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;
N-(4-chlorophenyl){2-[(4-quinolylmethyl)amino](3-pyridyl)}carboxamide;
N-(4-chlorophenyl){2-[(5-quinolylmethyl)amino](3-pyridyl)}carboxamide;
N-(4-chlorophenyl){2-[(4-pyridylethyl)amino]-5-(3-thienyl)-(3-pyridyl)}carboxamide;
N-(4-chlorophenyl){5-(4-methoxyphenyl)-2-[(4-pyridylmethyl)amino]-(3-pyridyl)}carboxamide; and
N-(4-chlorophenyl){5-bromo-2-[(4-pyridylmethyl)amino]-(3-pyridyl)}carboxamide.

17. A compound of Claim 1 having Formula II



II

wherein R^a and R^b are independently selected from H, halo, C_{1-4}-alkyl and $-N(R^6)_2$;

wherein n is 1-2;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl and C_{1-6}-alkoxy;

wherein R^1 is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, C_{1-6}-haloalkoxy, optionally substituted phenyloxy, benzyl, optionally substituted 5-6 membered heterocyclyl-C_1-C_2-alkylenyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C_{1-6}-haloalkyl, and C_{1-6}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,

halo,

C_{1-6}-alkyl,
C_{1-6}-haloalkyl,
C_{1-6}-alkoxy,
C_{1-6}-haloalkoxy,
C_{1-6}-carboxyalkyl,
unsubstituted or substituted aryl and
unsubstituted or substituted 5-6 membered heteroaryl; and

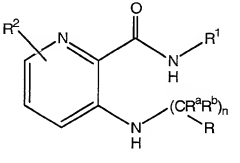
wherein R^6 is H or C_{1-6}-alkyl;
and pharmaceutically acceptable isomers and salts thereof.

18. Compound of Claim 17 wherein R^a and R^b are H;
wherein n is 1-2;
wherein R is selected from 4-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinozalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;
wherein R^1 is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinozalinyl, tetrahydroquinolinyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and

wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

and pharmaceutically acceptable salts thereof.

19. A compound of Claim 1 having Formula III



III

wherein R^a and R^b are independently selected from H, halo, C_{1-4}-alkyl and $-N(R^6)_2$;

wherein n is 1-2;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl and C_{1-6}-alkoxy;

wherein R^1 is selected from unsubstituted or substituted aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted 5-6 membered heterocyclyl-C_1-C_2-alkylenyl, C_{1-6}-haloalkoxy, optionally substituted phenyloxy, benzyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C_{1-6}-haloalkyl, and C_{1-6}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,

halo,

C_{1-6}-alkyl,

C_{1-6}-haloalkyl,

C_{1-6}-alkoxy,

C_{1-6}-haloalkoxy,

C_{1-6}-carboxyalkyl,

unsubstituted or substituted aryl and

unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R^6 is H or C_{1-6}-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

20. Compound of Claim 19 wherein R^a and R^b are H;

wherein n is 1-2;

wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinozalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;

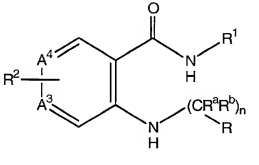
wherein R^1 is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,

pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinozalinyl, tetrahydroquinolinyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and

wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

and pharmaceutically acceptable salts thereof.

21. A compound of Claim 1 having Formula IV



IV

wherein A^3 is selected from CR^2 and N;

wherein A^4 is selected from CR^2 and N; provided one of A^3 and A^4 is not CR^2;

wherein R^a and R^b are independently selected from H, halo, C_{1-4}-alkyl and $-N(R^6)_2$;

wherein n is 1-2;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl and C_{1-6}-alkoxy;

wherein R^1 is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted 5-6 membered heterocyclyl-C_1-C_2-alkylenyl, C_{1-6}-haloalkoxy, optionally substituted phenyloxy, benzyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C_{1-6}-haloalkyl, and C_{1-6}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,

halo,

C_{1-6}-alkyl,

C_{1-6}-haloalkyl,

C_{1-6}-alkoxy,

C_{1-6}-haloalkoxy,

C_{1-6}-carboxyalkyl,

unsubstituted or substituted aryl and

unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R^6 is H or C_{1-6}-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

22. Compound of Claim 21 wherein R^a and R^b are H;

wherein n is 1-2;

wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinozalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;

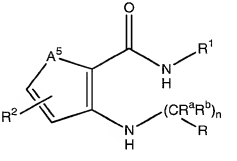
wherein R^1 is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinozalinyl, tetrahydroquinolinyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and

wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected

from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

and pharmaceutically acceptable salts thereof.

23. A compound of Claim 1 having the formula V



V

wherein A^5 is selected from S, O and NR^6;

wherein R^a and R^b are independently selected from H, halo, C_{1-4}-alkyl and $-N(R^6)_2$;

wherein n is 1-2;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl and C_{1-6}-alkoxy;

wherein R^1 is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, C_{1-6}-haloalkoxy, optionally substituted phenyloxy, benzyl, optionally substituted 5-6 membered

heterocyclyl-C_1-C_2-alkylenyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C_{1-6}-haloalkyl, and C_{1-6}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,

halo,

C_{1-6}-alkyl,

C_{1-6}-haloalkyl,

C_{1-6}-alkoxy,

C_{1-6}-haloalkoxy,

C_{1-6}-carboxyalkyl,

unsubstituted or substituted aryl and

unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R^6 is H or C_{1-6}-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

24. Compound of Claim 23 wherein R^a and R^b are H;

wherein n is 1-2;

wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinozalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;

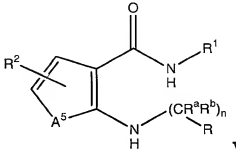
wherein R^1 is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinozalinyl, tetrahydroquinolinyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R^1 is

unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and

wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

and pharmaceutically acceptable salts thereof.

25. A compound of Claim 1 having the formula



VI

wherein A^5 is selected from S, O and NR^6;

wherein R^a and R^b are independently selected from H, halo, C_{1-4}-alkyl and $-N(R^6)_2$;

wherein n is 1-2;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl and C_{1-6}-alkoxy;

wherein R^1 is selected from unsubstituted or substituted aryl,
5-6 membered heteroaryl and
9-10 membered fused heteroaryl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, C_{1-6}-haloalkoxy, optionally substituted phenyloxy, benzyl, optionally substituted 5-6 membered heterocyclyl-C_1-C_2-alkylenyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C_{1-6}-haloalkyl, and C_{1-6}-alkoxy;

wherein R^2 is one or more substituents independently selected from
H,
halo,
C_{1-6}-alkyl,
C_{1-6}-haloalkyl,
C_{1-6}-alkoxy,
C_{1-6}-haloalkoxy,
C_{1-6}-carboxyalkyl,
unsubstituted or substituted aryl and
unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R^6 is H or C_{1-6}-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

26. Compound of Claim 25 wherein R^a and R^b are H; wherein n is 1-2;

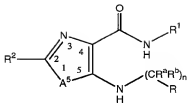
wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinozalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;

wherein R^1 is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinozalinyl, tetrahydroquinolinyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and

wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

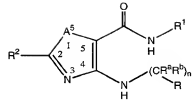
and pharmaceutically acceptable salts thereof.

27. A compound of Claim 1 having the formula



VIIa

and



VIIb

wherein A^5 is selected from S, O and NR^6;

wherein R^a and R^b are independently selected from H, halo, C_{1-4}-alkyl and $-N(R^6)_2$;

wherein n is 1-2;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl and C_{1-6}-alkoxy;

wherein R^1 is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, C_{1-6}-haloalkoxy, optionally substituted phenyloxy, benzyl, optionally substituted 5-6 membered heterocyclyl-C_1-C_2-alkylenyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C_{1-6}-haloalkyl, and C_{1-6}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,

halo,

C_{1-6}-alkyl,
C_{1-6}-haloalkyl,
C_{1-6}-alkoxy,
C_{1-6}-haloalkoxy,
C_{1-6}-carboxyalkyl,
unsubstituted or substituted aryl and
unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R^6 is H or C_{1-6}-alkyl;

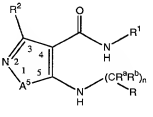
and pharmaceutically acceptable isomers and salts thereof.

28. Compound of Claim 27 wherein R^a and R^b are H;
wherein n is 1-2;
wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinozalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;
wherein R^1 is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinozalinyl, tetrahydroquinolinyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and

wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

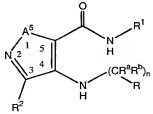
and pharmaceutically acceptable salts thereof.

29. Compound of Claim 1 of the formulas



VIIIa

and



VIIIb

wherein A^5 is selected from S, O and NR^6;

wherein R^a and R^b are independently selected from H, halo, C_{1-4}-alkyl and $-N(R^6)_2$;

wherein n is 1-2;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl and C_{1-6}-alkoxy;

wherein R^1 is selected from unsubstituted or substituted aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, C_{1-6}-haloalkoxy, optionally substituted phenyloxy, benzyl, optionally substituted 5-6 membered heterocyclyl-$C_{1-2}C_2$-alkylenyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C_{1-6}-haloalkyl, and C_{1-6}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,

halo,

C_{1-6}-alkyl,

C_{1-6}-haloalkyl,

C_{1-6}-alkoxy,

C_{1-6}-haloalkoxy,

C_{1-6}-carboxyalkyl,

unsubstituted or substituted aryl and

unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R^6 is H or C_{1-6}-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

30. Compound of Claim 29 wherein R^a and R^b are H;

wherein n is 1-2;

wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinozalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;

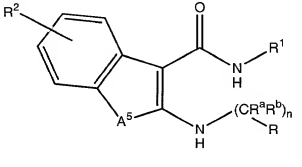
wherein R^1 is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl,

pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinozalinyl, tetrahydroquinolinyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and

wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

and pharmaceutically acceptable salts thereof.

31. Compound of Claim 1 of the formula



IX

wherein A^5 is selected from S, O and NR^6;

wherein R^a and R^b are independently selected from H, halo, C_{1-4}-alkyl and $-N(R^6)_2$;

wherein n is 1-2;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl and C_{1-6}-alkoxy;

wherein R^1 is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, C_{1-6}-haloalkoxy, optionally substituted phenyloxy, benzyl, optionally substituted 5-6 membered heterocyclyl-C_1-C_2-alkylenyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C_{1-6}-haloalkyl, and C_{1-6}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,

halo,

C_{1-6}-alkyl,

C_{1-6}-haloalkyl,

C_{1-6}-alkoxy,

C_{1-6}-haloalkoxy,

C_{1-6}-carboxyalkyl,

unsubstituted or substituted aryl and

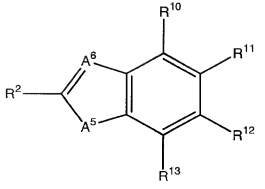
unsubstituted or substituted 5-6 membered heteroaryl; and

wherein R^6 is H or C_{1-6}-alkyl;

and pharmaceutically acceptable isomers and salts thereof.

32. Compound of Claim 31 wherein R^a and R^b are H;
wherein n is 1-2;
wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinozalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;
wherein R^1 is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinozalinyl, tetrahydroquinolinyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and
wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;
and pharmaceutically acceptable salts thereof.

33. Compound of Claim 1 of the formula



x

wherein A^5 is selected from S, O and NR^6;

wherein A^6 is selected from CR^2 and N;

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl and C_{1-6}-alkoxy;

wherein R^1 is selected from unsubstituted or substituted

aryl,

5-6 membered heteroaryl and

9-10 membered fused heteroaryl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, C_{1-6}-haloalkoxy, optionally substituted phenyloxy, benzyl, optionally substituted 5-6 membered heterocyclyl-C_1-C_2-alkylenyl, optionally substituted heteroaryl, optionally substituted heteroaryloxy, C_{1-6}-haloalkyl, and C_{1-6}-alkoxy;

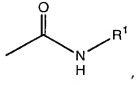
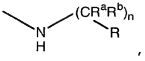
wherein R^2 is one or more substituents independently selected from

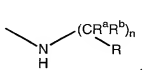
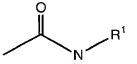
H,

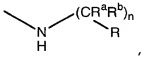
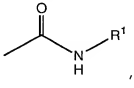
halo,
C_{1-6}-alkyl,
C_{1-6}-haloalkyl,
C_{1-6}-alkoxy,
C_{1-6}-haloalkoxy,
C_{1-6}-carboxyalkyl,
unsubstituted or substituted aryl and
unsubstituted or substituted 5-6 membered heteroaryl; and

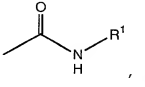
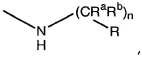
wherein R^6 is H or C_{1-6}-alkyl;

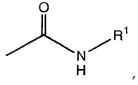
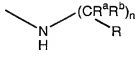
wherein

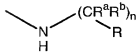
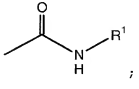
a) R^{10} is  , R^{11} is  , R^{12} is H, and R^{13} is H; or

b) R^{10} is  , R^{11} is  , R^{12} is H, and R^{13} is H; or

c) R^{10} is H, R^{11} is  , R^{12} is  , and R^{13} is H; or

d) R^{10} is H, R^{11} is  , R^{12} is  , and R^{13} is H; or

e) R^{10} is H, R^{11} is H, R^{12} is  , and R^{13} is  ; or

f) R^{10} is H, R^{11} is H, R^{12} is  , and R^{13} is  ;

wherein R^a and R^b are independently selected from H, halo, C_{1-4}-alkyl and $-N(R^6)_2$; and

wherein n is 1-2;

and pharmaceutically acceptable isomers and salts thereof.

34. Compound of Claim 33 wherein R^a and R^b are H;

wherein n is 1-2;

wherein R is selected from 4-pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, naphthyridinyl and quinozalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy and ethoxy;

wherein R^1 is selected from phenyl, tetrahydronaphthyl, naphthyl, isoquinolyl, quinolyl, pyridyl, pyrimidinyl, pyridazinyl, indolyl, isoindolyl, naphthyridinyl, quinozalinyl, tetrahydroquinolinyl, indazolyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, or benzthiazolyl, where R^1 is

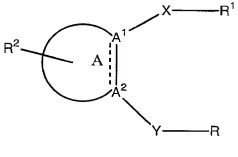
unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, cyclohexyl, phenylmethyl, morpholinylmethyl, methylpiperdinylmethyl, methylpiperazinylmethyl, ethyl, propyl, trifluoromethyl, phenyloxy, methoxy and ethoxy; and

wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

and pharmaceutical acceptable salts thereof.

35. A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a compound as in any of Claims 1-34.

36. A method of treating cancer in a subject, said method comprising administering an effective amount of a compound of formula I



I

wherein each of A^1 and A^2 is independently C or N;
wherein ring A is selected from

a) 5- or 6-membered partially saturated heterocyclyl,

b) 5- or 6-membered heteroaryl,

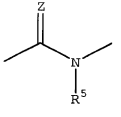
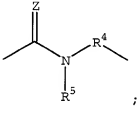
c) 9- or 10-membered fused partially saturated heterocyclyl,

d) 9-, 10- or 11-membered fused heteroaryl;

e) naphthyl, and

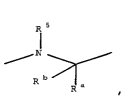
f) 4-, 5- or 6- membered cycloalkenyl;

wherein X is selected from


and

;

wherein Z is oxygen or sulfur;

wherein Y is selected from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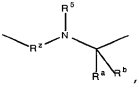
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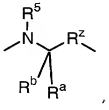
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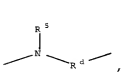
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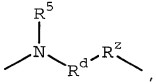
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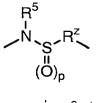
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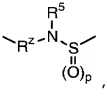
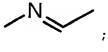

, and

;

wherein p is 0 to 2,

wherein R^a and R^b are independently selected from H, halo, cyano, -NHR^6 and C_{1-4}-alkyl substituted with R^2, or wherein R^a and R^b together form C_3-C_6 cycloalkyl;

wherein R^z is selected from C_1-C_4 alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-;

wherein R^d is cycloalkyl;

wherein R is selected from

a) substituted or unsubstituted 5-6 membered heterocyclyl, and

b) substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^1 is selected from

a) substituted or unsubstituted 6-10 membered aryl,

b) substituted or unsubstituted 5-6 membered heterocyclyl,

c) substituted or unsubstituted 9-11 membered fused heterocyclyl,

d) cycloalkyl, and

e) cycloalkenyl,

wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$ alkylenylR^{14}), $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-COR^3$, $-CONR^3R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted phenylalkylenyl, optionally

substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R^3 is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C_3-C_6 cycloalkyl, and lower haloalkyl;

wherein R^4 is independently selected from C_2-C_4 alkylenyl, C_2-C_4 alkenylenyl and C_2-C_4 alkynylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-;

wherein R^5 is selected from H, lower alkyl, phenyl and lower aralkyl; and

wherein R^6 is selected from H or C_{1-6}-alkyl;

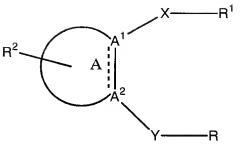
wherein R^{14} is selected from H, phenyl, 5-6 membered heterocyclyl and C_3-C_6 cycloalkyl;

and pharmaceutically acceptable salts thereof;

provided A is not naphthyl when X is -C(O)NH- and when R^1 is phenyl when Y is $-NCH_2-$ and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is $-NHCH_2-$.

37. The method of Claim 36 comprising a combination with a compound selected from antibiotic-type agents, alkylating agents, antimetabolite agents, hormonal agents, immunological agents, interferon-type agents and miscellaneous agents.

38. A method of treating angiogenesis in a subject, said method comprising administering an effective amount of a compound as in any of Formula I



I

wherein each of A^1 and A^2 is independently C or N;

wherein ring A is selected from

a) 5- or 6-membered partially saturated heterocyclyl,

b) 5- or 6-membered heteroaryl,

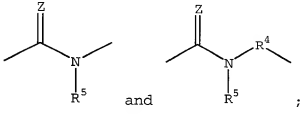
c) 9- or 10-membered fused partially saturated heterocyclyl,

d) 9-, 10- or 11-membered fused heteroaryl;

e) naphthyl, and

f) 4-, 5- or 6- membered cycloalkenyl;

wherein X is selected from

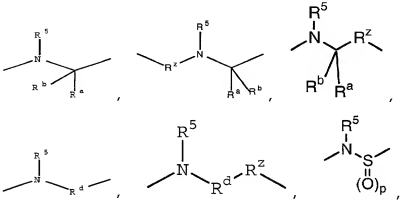


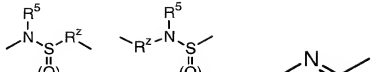
and

;

wherein Z is oxygen or sulfur;

wherein Y is selected from





wherein p is 0 to 2,

wherein R^a and R^b are independently selected from H, halo, cyano, $-NHR^6$ and C_{1-4}-alkyl substituted with R^2, or wherein R^a and R^b together form C_3-C_6 cycloalkyl;

wherein R^z is selected from C_1-C_4 alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-;

wherein R^d is cycloalkyl;

wherein R is selected from

a) substituted or unsubstituted 5-6 membered heterocyclyl, and

b) substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^1 is selected from

a) substituted or unsubstituted 6-10 membered aryl,

b) substituted or unsubstituted 5-6 membered heterocyclyl,

c) substituted or unsubstituted 9-11 membered fused heterocyclyl,

d) cycloalkyl, and

e) cycloalkenyl,

wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$,

$-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$ alkylenylR^{14}), $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-COR^3$, $-CONR^3R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted phenylalkylenyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R^3 is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C_3-C_6 cycloalkyl, and lower haloalkyl;

wherein R^4 is independently selected from C_2-C_4 alkylenyl, C_2-C_4 alkenylenyl and C_2-C_4 alkynylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-;

wherein R^5 is selected from H, lower alkyl, phenyl and lower aralkyl; and

wherein R^6 is selected from H or C_{1-6}-alkyl;

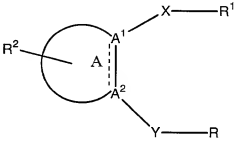
wherein R^{14} is selected from H, phenyl, 5-6 membered heterocyclyl and C_3-C_6 cycloalkyl;

and pharmaceutically acceptable salts thereof;

provided A is not naphthyl when X is -C(O)NH- and when R^1 is phenyl when Y is $-NCH_2-$ and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is $-NHCH_2-$.

39. A compound as in any of Claims 1-34 for use in a method of therapeutic treatment for the human or animal body.

40. A method of treating KDR-related disorders in a mammal, said method comprising administering an effective amount of a compound of Formula I



I

wherein each of A^1 and A^2 is independently C or N;

wherein ring A is selected from

a) 5- or 6-membered partially saturated heterocyclyl,

b) 5- or 6-membered heteroaryl,

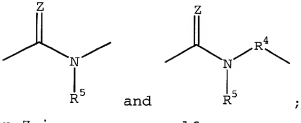
c) 9- or 10-membered fused partially saturated heterocyclyl,

d) 9-, 10- or 11-membered fused heteroaryl;

e) naphthyl, and

f) 4-, 5- or 6- membered cycloalkenyl;

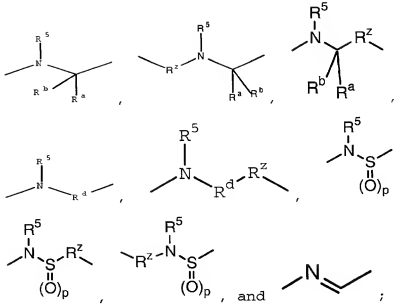
wherein X is selected from



;

wherein Z is oxygen or sulfur;

wherein Y is selected from



wherein p is 0 to 2,

wherein R^a and R^b are independently selected from H, halo, cyano, $-NHR^6$ and C_{1-4}-alkyl substituted with R^2, or wherein R^a and R^b together form C_3-C_6 cycloalkyl;

wherein R^z is selected from C_1-C_4 alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-;

wherein R^d is cycloalkyl;

wherein R is selected from

a) substituted or unsubstituted 5-6 membered heterocyclyl, and

b) substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^1 is selected from

a) substituted or unsubstituted 6-10 membered aryl,

b) substituted or unsubstituted 5-6 membered heterocyclyl,

c) substituted or unsubstituted 9-11 membered fused heterocyclyl,

d) cycloalkyl, and

e) cycloalkenyl,

wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$ alkylenylR^{14}), $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-COR^3$, $-CONR^3R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted phenylalkylenyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R^3 is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C_3-C_6 cycloalkyl, and lower haloalkyl;

wherein R^4 is independently selected from C_2-C_4 alkenylenyl, C_2-C_4 alkenylenyl and C_2-C_4 alkynylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-;

wherein R^5 is selected from H, lower alkyl, phenyl and lower aralkyl; and

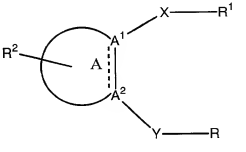
wherein R^6 is selected from H or C_{1-6}-alkyl;

wherein R^{14} is selected from H, phenyl, 5-6 membered heterocyclyl and C_3-C_6 cycloalkyl;

and pharmaceutically acceptable salts thereof;

provided A is not naphthyl when X is -C(O)NH- and when R^1 is phenyl when Y is $-NCH_2-$ and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is $-NHCH_2-$.

41. A method of treating proliferative disorders in a mammal, said method comprising administering an effective amount of a compound of Formula I



I

wherein each of A^1 and A^2 is independently C or N;

wherein ring A is selected from

a) 5- or 6-membered partially saturated heterocyclyl,

b) 5- or 6-membered heteroaryl,

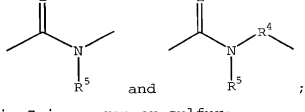
c) 9- or 10-membered fused partially saturated heterocyclyl,

d) 9-, 10- or 11-membered fused heteroaryl;

e) naphthyl, and

f) 4-, 5- or 6- membered cycloalkenyl;

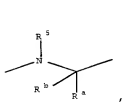
wherein X is selected from



;

wherein Z is oxygen or sulfur;

wherein Y is selected from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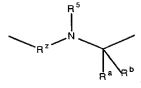
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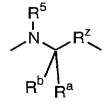
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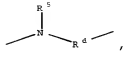
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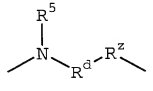
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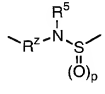
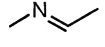

, and

;

wherein p is 0 to 2,

wherein R^a and R^b are independently selected from H, halo, cyano, $-NHR^6$ and C_{1-4}-alkyl substituted with R^2, or wherein R^a and R^b together form C_3-C_6 cycloalkyl;

wherein R^z is selected from C_1-C_4 alkylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-;

wherein R^d is cycloalkyl;

wherein R is selected from

a) substituted or unsubstituted 5-6 membered heterocyclyl, and

b) substituted or unsubstituted fused 9-, 10- or 11-membered heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^1 is selected from

a) substituted or unsubstituted 6-10 membered aryl,
b) substituted or unsubstituted 5-6 membered heterocyclyl,
c) substituted or unsubstituted 9-11 membered fused heterocyclyl,
d) cycloalkyl, and
e) cycloalkenyl,

wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$ alkylenyl$R^{14})$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, lower alkyl substituted with R^2, cyano, nitro, lower alkenyl and lower alkynyl;

wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-COR^3$, $-CONR^3R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted phenylalkylenyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R^3 is selected from H, lower alkyl, phenyl, 5-6 membered heterocyclyl, C_3-C_6 cycloalkyl, and lower haloalkyl;

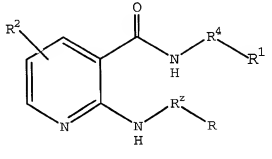
wherein R^4 is independently selected from C_2-C_4 alkylenyl, C_2-C_4 alkenylenyl and C_2-C_4 alkynylenyl, where one of the CH_2 groups may be substituted with an oxygen atom or an -NH-;

wherein R^5 is selected from H, lower alkyl, phenyl and lower aralkyl; and

wherein R^6 is selected from H or C_{1-6}-alkyl;
wherein R^{14} is selected from H, phenyl, 5-6 membered heterocyclyl and C_3-C_6 cycloalkyl;
and pharmaceutically acceptable salts thereof;
provided A is not naphthyl when X is -C(O)NH- and when R^1 is phenyl when Y is $-NCH_2-$ and when R is 4-pyridyl; and further provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl when Y is $-NHCH_2-$.

42. Method of Claim 12 wherein the disorder is inflammation or an inflammation-related disorder.

43. A compound of Claim 1 having Formula II'



II'

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused heteroaryl,

where substituted R is substituted with one or more substituents selected from halo, amino, oxo, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl, C_{1-6}-alkoxy, optionally substituted heterocyclyl-C_{1-6}-alkoxy, optionally substituted heterocyclyl-C_{1-6}-alkylamino, optionally substituted heterocyclyl-C_{1-6}-alkyl, C_{1-6}-alkylamino-C_{2-4}-alkynyl, C_{1-6}-alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkoxy-

C_{1-6}-alkoxy, and optionally substituted heterocyclyl-C_{2-4}-alkynyl;

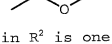
wherein R^1 is selected from unsubstituted or substituted aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_1-C_4-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkyl, optionally substituted 4-6 membered heterocyclyl-C_2-C_4-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-2}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy, oxo, cyano, -NHC(O)NH_2, alkylcarbonylamino, aminosulfonyl, C_{1-2}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl,

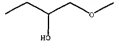


and C_{1-4}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,
halo,
hydroxy,
amino,
C_{1-6}-alkyl,
C_{1-6}-haloalkyl,
C_{1-6}-alkoxy,
C_{1-2}-alkylamino,
aminosulfonyl,
C_{3-6}-cycloalkyl,
cyano,
C_{1-2}-hydroxyalkyl,
nitro,
C_{2-3}-alkenyl,
C_{2-3}-alkynyl,
C_{1-6}-haloalkoxy,
C_{1-6}-carboxyalkyl,
5-6-membered heterocyclyl-C_{1-6}-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 5-6 membered heterocyclyl;

wherein R^4 is selected from a direct bond, C_{1-4}-alkyl, and



;

wherein R^z is selected from C_{1-2}-alkyl, C_{2-6}-branched alkyl, C_{2-4}-branched haloalkyl, amino-C_{1-4}-alkyl and C_{1-2}-alkylamino-C_{1-2}-alkyl;

wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl; and

wherein R^7 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered

heterocyclyl-C_1-C_3-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl;

provided R^2 is not H, or provided R^1 is not heteroaryl or aryl, or provided R is substituted with optionally substituted heterocyclyl-C_{1-6}-alkoxy, optionally substituted heterocyclyl-C_{1-6}-alkylamino, optionally substituted heterocyclyl-C_{1-6}-alkyl, C_{1-6}-alkylamino-C_{2-4}-alkynyl, C_{1-6}-alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkoxy-C_{1-6}-alkoxy, or optionally substituted heterocyclyl-C_{2-4}-alkynyl, or provided R^1 is substituted with optionally substituted phenyloxy, optionally substituted 5-6 membered heterocyclyloxy, optionally substituted 5-6 membered heterocyclylsulfonyl, optionally substituted 5-6 membered heterocyclylamino, optionally substituted 5-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, or C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy; further provided R is not 3-pyridyl when R^5 is CH_2;

and pharmaceutically acceptable isomers and derivatives thereof.

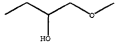
44. Compound of Claim 43 wherein R is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, naphthyridinyl and quinozalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl,

naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, dihydro-benzimidazolyl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl,

hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and



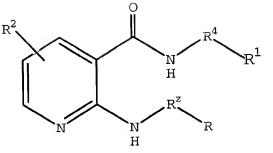
; and

wherein R^5 is selected from methylenyl, ethylenyl,  ,

and aminoethylenyl;

and pharmaceutically acceptable derivatives thereof.

45. A compound of Claim 1 having Formula XI



XI

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused heteroaryl,

where substituted R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl, C_{1-6}-alkoxy, optionally substituted heterocyclyl-C_{1-6}-alkoxy, optionally substituted heterocyclyl-C_{1-6}-alkylamino, optionally substituted heterocyclyl-C_{1-6}-alkyl, C_{1-6}-alkylamino-C_{2-4}-alkynyl, C_{1-6}-alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkoxy-C_{1-6}-alkoxy, and optionally substituted heterocyclyl-C_{2-4}-alkynyl;

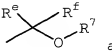
wherein R^1 is a ring selected from unsubstituted or substituted

4-6 membered saturated or partially un-saturated monocyclic heterocyclyl,

9-10 membered saturated or partially un-saturated bicyclic heterocyclyl, and

13-14 membered saturated or partially un-saturated tricyclic heterocyclyl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_1-C_4-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted 4-6 membered

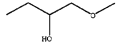
heterocyclyl-$C_{1-}C_4$-alkyl, optionally substituted 4-6 membered heterocyclyl-$C_{2-}C_4$-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-$C_{1-}C_4$-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-2}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy, oxo, cyano, aminosulfonyl, C_{1-2}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl,  and C_{1-4}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,
halo,
hydroxy,
amino,
C_{1-6}-alkyl,
C_{1-6}-haloalkyl,
C_{1-6}-alkoxy,
C_{1-2}-alkylamino,
aminosulfonyl,
C_{3-6}-cycloalkyl,
cyano,
C_{1-2}-hydroxyalkyl,
nitro,
C_{2-3}-alkenyl,

C_{2-3}-alkynyl,
C_{1-6}-haloalkoxy,
C_{1-6}-carboxyalkyl,
5-6-membered heterocyclyl-C_{1-6}-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 5-6 membered heterocyclyl;

wherein R^4 is selected from a direct bond, C_{1-4}-alkyl, and



;

wherein R^z is selected from C_{1-2}-alkyl, C_{2-6}-branched alkyl, C_{2-4}-branched haloalkyl, amino-C_{1-4}-alkyl and C_{1-2}-alkylamino-C_{1-2}-alkyl;

wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl; and

wherein R^7 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_3-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl;

and pharmaceutically acceptable isomers and derivatives thereof.

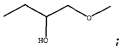
46. A compound of Claim 45 wherein R is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, naphthyridinyl and quinozalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-

isoquinolyl, 2,3-dihydro-1H-indolyl, dihydro-benzimidazolyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, and tetrahydroquinolinyl, where R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl,

trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy;

wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and

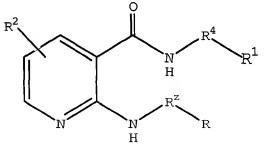


; and

wherein R^z is selected from methylenyl, ethylenyl,  , and aminoethylenyl;

and pharmaceutically acceptable derivatives thereof.

47. A compound of Claim 1 having Formula XI



XI

wherein R is selected from

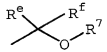
a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused heteroaryl,

where substituted R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl, C_{1-6}-alkoxy, optionally substituted heterocyclyl-C_{1-6}-alkoxy, optionally substituted heterocyclyl-C_{1-6}-alkylamino, optionally substituted heterocyclyl-C_{1-6}-alkyl, C_{1-6}-alkylamino-C_{2-4}-alkynyl, C_{1-6}-alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkoxy-C_{1-6}-alkoxy, and optionally substituted heterocyclyl-C_{2-4}-alkynyl;

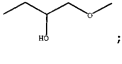
wherein R^1 is selected from unsubstituted or substituted aryl,
cycloalkyl,
5-6 membered heteroaryl and
9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_1-C_4-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkyl, optionally substituted 4-6 membered heterocyclyl-C_2-C_4-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered

heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-2}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C_{1-2}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl,  and C_{1-4}-alkoxy;

wherein R^2 is one or more substituents independently selected from

halo,
hydroxy,
amino,
C_{1-6}-alkyl,
C_{1-6}-haloalkyl,
C_{1-6}-alkoxy,
C_{1-2}-alkylamino,
aminosulfonyl,
C_{3-6}-cycloalkyl,
cyano,
C_{1-2}-hydroxyalkyl,
nitro,
C_{2-3}-alkenyl,
C_{2-3}-alkynyl,
C_{1-6}-haloalkoxy,
C_{1-6}-carboxyalkyl,
5-6-membered heterocyclyl-C_{1-6}-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 5-6 membered heterocyclyl;

wherein R^4 is selected from a direct bond, C_{1-4}-alkyl, and  ;

wherein R^z is selected from C_{1-2}-alkyl, C_{2-6}-branched alkyl, C_{2-4}-branched haloalkyl, amino-C_{1-4}-alkyl and C_{1-2}-alkylamino-C_{1-2}-alkyl;

wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl; and

wherein R^7 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_3-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl;

and pharmaceutically acceptable isomers and derivatives thereof.

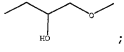
48. A compound of Claim 47 wherein R is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, naphthyridinyl and quinozalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, dihydro-benzimidazolyl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is

unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy,

pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and

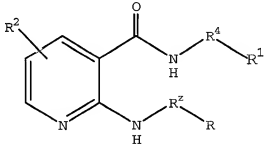


; and

wherein R^z is selected from methylenyl, ethylenyl,  , and aminoethylenyl;

and pharmaceutically acceptable derivatives thereof.

49. A compound of Claim 1 having Formula XI



XI

wherein R is selected from

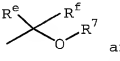
a) unsubstituted or substituted 5- or 6-membered nitrogen-containing heteroaryl, and

b) unsubstituted or substituted 9- or 10-membered fused heteroaryl,

where substituted R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl, C_{1-6}-alkoxy, optionally substituted heterocyclyl-C_{1-6}-alkoxy, optionally substituted heterocyclyl-C_{1-6}-alkylamino, optionally substituted heterocyclyl-C_{1-6}-alkyl, C_{1-6}-alkylamino-C_{2-4}-alkynyl, C_{1-6}-alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkoxy-C_{1-6}-alkoxy, and optionally substituted heterocyclyl-C_{2-4}-alkynyl;

wherein R^1 is selected from unsubstituted or substituted aryl,
cycloalkyl,
5-6 membered heteroaryl and
9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_1-C_4-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkyl, optionally substituted 4-6 membered heterocyclyl-C_2-C_4-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-2}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy,

cyano, aminosulfonyl, C_{1-2}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl,  and C_{1-4}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,

halo,

hydroxy,

amino,

C_{1-6}-alkyl,

C_{1-6}-haloalkyl,

C_{1-6}-alkoxy,

C_{1-2}-alkylamino,

aminosulfonyl,

C_{3-6}-cycloalkyl,

cyano,

C_{1-2}-hydroxyalkyl,

nitro,

C_{2-3}-alkenyl,

C_{2-3}-alkynyl,

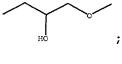
C_{1-6}-haloalkoxy,

C_{1-6}-carboxyalkyl,

5-6-membered heterocyclyl-C_{1-6}-alkylamino,

unsubstituted or substituted phenyl and

unsubstituted or substituted 5-6 membered heterocyclyl;

wherein R^4 is selected from a direct bond, C_{1-4}-alkyl, and  ;

wherein R^z is selected from C_{1-2}-alkyl, C_{2-6}-branched alkyl, C_{2-4}-branched haloalkyl, amino-C_{1-4}-alkyl and C_{1-2}-alkylamino-C_{1-2}-alkyl;

wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl; and

wherein R^7 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_3-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl;

provided R^1 is substituted with optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, or C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy; further provided R is not 3-pyridyl when R^5 is CH_2;

and pharmaceutically acceptable isomers and derivatives thereof.

50. A compound of Claim 49 wherein R is selected from 4-pyridyl, 3-pyridyl, 2-pyridyl, pyrimidinyl, triazolyl, pyridazinyl, indolyl, isoindolyl, indazolyl, quinolyl, isoquinolyl, benzotriazolyl, naphthyridinyl and quinozalinyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is

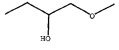
selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-

trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy;

wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and

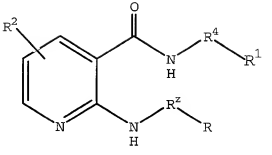


; and

wherein R^5 is selected from methylenyl, ethylenyl,  , and aminoethylenyl;

and pharmaceutically acceptable derivatives thereof.

51. A compound of Claim 1 having Formula II'



II'

wherein R is selected from

a) unsubstituted or substituted 5- or 6-membered non-nitrogen-containing heterocyclyl, and

b) unsubstituted or substituted 9- or 10-membered fused partially unsaturated heterocyclyl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl, C_{1-6}-alkoxy, optionally substituted heterocyclyl-C_{1-6}-alkoxy, optionally substituted heterocyclyl-C_{1-6}-alkylamino, optionally substituted heterocyclyl-C_{1-6}-alkyl, C_{1-6}-alkylamino-C_{2-4}-alkynyl, C_{1-6}-alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkoxy-C_{1-6}-alkoxy, and optionally substituted heterocyclyl-C_{2-4}-alkynyl;

wherein R^1 is selected from unsubstituted or substituted

aryl,

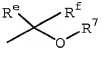
cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_1-C_4-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkyl, optionally substituted 4-6

membered heterocyclyl-$C_{2-}C_4$-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-$C_{1-}C_4$-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-2}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy, oxo, $-NHC(O)NH_2$, alkylcarbonylamino, cyano, aminosulfonyl, C_{1-2}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl,



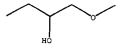
and C_{1-4}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,
halo,
hydroxy,
amino,
C_{1-6}-alkyl,
C_{1-6}-haloalkyl,
C_{1-6}-alkoxy,
C_{1-2}-alkylamino,
aminosulfonyl,
C_{3-6}-cycloalkyl,
cyano,
C_{1-2}-hydroxyalkyl,
nitro,
C_{2-3}-alkenyl,

C_{2-3}-alkynyl,
C_{1-6}-haloalkoxy,
C_{1-6}-carboxyalkyl,
5-6-membered heterocyclyl-C_{1-6}-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 5-6 membered heterocyclyl;

wherein R^4 is selected from a direct bond, C_{1-4}-alkyl, and



;

wherein R^5 is selected from C_{1-2}-alkyl, C_{2-6}-branched alkyl, C_{2-4}-branched haloalkyl, amino-C_{1-4}-alkyl and C_{1-2}-alkylamino-C_{1-2}-alkyl;

wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl; and

wherein R^7 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl-C_{1-3}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_3-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl;

and pharmaceutically acceptable isomers and derivatives thereof.

52. A compound of Claim 50 wherein R is selected from 2,3-dihydrobenzofuryl, and tetrahydropyran, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl,

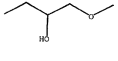
1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl,

dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy;

wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and

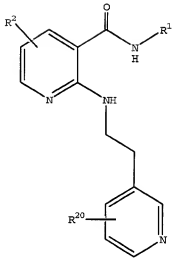


; and

wherein R^z is selected from methylenyl, ethylenyl,  , and aminoethylenyl;

and pharmaceutically acceptable derivatives thereof.

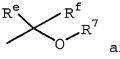
53. A compound of Claim 1 having Formula XII



XII

wherein R^1 is selected from unsubstituted or substituted
aryl,
cycloalkyl,
5-6 membered heteroaryl and
9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl-C_{1-C_4}-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted 4-6 membered heterocyclyl-C_{1-C_4}-alkyl, optionally substituted 4-6 membered heterocyclyl-C_{2-C_4}-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C_{1-C_4}-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-2}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy,

cyano, aminosulfonyl, C_{1-2}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl,  and C_{1-4}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,
halo,
hydroxy,
amino,
C_{1-6}-alkyl,
C_{1-6}-haloalkyl,
C_{1-6}-alkoxy,
C_{1-2}-alkylamino,
aminosulfonyl,
C_{3-6}-cycloalkyl,
cyano,
C_{1-2}-hydroxyalkyl,
nitro,
C_{2-3}-alkenyl,
C_{2-3}-alkynyl,
C_{1-6}-haloalkoxy,
C_{1-6}-carboxyalkyl,
5-6-membered heterocyclyl-C_{1-6}-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 5-6 membered heterocyclyl;

wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl;

wherein R^7 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, optionally substituted 4-6 membered heterocyclyl,

optionally substituted 4-6 membered heterocyclyl-C_{1-3}-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl; and

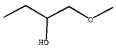
wherein R^{20} is one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl, C_{1-6}-alkoxy, optionally substituted heterocyclyl-C_{1-6}-alkoxy, optionally substituted heterocyclyl-C_{1-6}-alkylamino, optionally substituted heterocyclyl-C_{1-6}-alkyl, C_{1-6}-alkylamino-C_{2-4}-alkynyl, C_{1-6}-alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkoxy-C_{1-6}-alkoxy, and optionally substituted heterocyclyl-C_{2-4}-alkynyl;

and pharmaceutically acceptable isomers and derivatives thereof.

54. Compound of Claim 53 wherein R^1 is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, dihydro-benzimidazolyl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-

(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy,

ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and  ;

wherein R^z is selected from methylenyl, ethylenyl,  , and aminoethylenyl; and

wherein R^{20} is one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy;

and pharmaceutically acceptable derivatives thereof.

55. Compound of Claim 1 and pharmaceutically acceptable derivatives thereof selected from

N-[3-(Isopropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(3-Isoquinolyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-Isopropylphenyl]{2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;

N-[4-(tert-Butyl)phenyl]{2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;

N-[4-(Methylpropyl)phenyl]{2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;

{2-[(2-(3-Pyridyl)ethyl)amino](3-pyridyl)}-N-[3-(trifluoromethyl)phenyl]carboxamide;

{2-[(4-Pyridylmethyl)amino](3-pyridyl)}-N-{4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl}carboxamide;

N-[5-(tert-Butyl)isoxazol-3-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[5-(tert-Butyl)-1-methylpyrazol-3-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(tert-Butyl)(1,3-thiazol-2-yl)]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[5-(tert-Butyl)(1,3,4-thiadiazol-2-yl)]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(4-Hydroxybutyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[2-(4-Chlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)}carboxamide;

5-Bromo-*N*-[2-(4-chlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;

N-[2-(4-Phenoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;

N-[2-(4-Methoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;

N-[2-(3,4-Dimethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(4-Hydroxy-3-ethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(4-Fluorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;

N-[2-(4-(*tert*-Butyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(3-Fluorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;

N-[2-(3-Chlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino](3-pyridyl)carboxamide;

N-[2-(3-(Trifluoromethyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(3-Ethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(3,4-Dimethylphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(1,3-Benzodioxol-5-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(4-Methylphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(4-Hydroxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(3,4-Dimethoxyphenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(4-Bromophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)}carboxamide;

N-[2-(3,4-Dichlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(4-(Fluorosulfonyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(3,5-(Dimethoxy)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(2,4-Dichlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(2-Fluorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(2-Chlorophenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(4-(Aminosulphonyl)phenyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(2-Thienyl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(Pyridin-2-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)}carboxamide;

N-[2-(Pyridin-3-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-[2-(Pyridin-4-yl)ethyl]-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-(4-Phenylbutyl)-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

N-(2-Hydroxy-3-phenoxypropyl)-2-[(pyridin-4-ylmethyl)amino] (3-pyridyl)carboxamide;

{6-Chloro-5-fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl)}-N-[4-(isopropyl)phenyl]carboxamide;

{5-Fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl)}-N-[4-(isopropyl)phenyl]carboxamide;

2-[(Pyridin-4-ylmethyl)amino]-*N*-[4-*tert*-butyl-3-(1,2,3,6-tetrahydropyridin-4-yl)phenyl](3-pyridyl)carboxamide;

N-(3,4-Dichlorophenyl){6-[(2-morpholin-4-ylethyl)amino]-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(Morpholin-4-ylmethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(4-{2-[(tert-Butoxy)carbonylamino]ethyl}phenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(2-Aminoethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(tert-Butyl)-3-nitrophenyl]{2-[(2-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[3-Amino-4-(tert-butyl)phenyl]{2-[(2-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(Isopropyl)phenyl]{2-[(2-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(3-Aminosulfonyl-4-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-{3-[(4-Methylpiperazinyl)sulfonyl]phenyl}{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(1,1,2,2,2-Pentafluoroethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(1,1,2,2,3,3,4,4,4-Nonafluorobutyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(Isopropyl)phenyl]{2-[(2-(1,2,4-triazolyl)ethyl)amino](3-pyridyl)}carboxamide;

(2-{[2-(2-Pyridylamino)ethyl]amino}(3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;

{2-[(1-(2-Pyridyl)pyrrolidin-3-yl)amino](3-pyridyl)}-N-[3-(trifluoromethyl)phenyl]carboxamide;

2-[(Pyridin-4-ylmethyl)-amino]-N-(3-trifluoromethyl-phenyl)-nicotinamide

{2-[(4-Pyridylmethyl)amino](3-pyridyl)}-N-(8-quinolyl)carboxamide hydrochloride;

N-[4-(4-Chlorophenoxy)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

{2-[(4-Pyridylmethyl)amino](3-pyridyl)}-N-(2,3,4-trifluorophenyl)carboxamide hydrochloride;

N-(2-Naphthyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-(2-Phenoxyphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

{2-[(4-Pyridylmethyl)amino](3-pyridyl)}-N-(5,6,7,8-tetrahydronaphthyl)carboxamide hydrochloride;

N-(2H-Benzo[3,4-d]1,3-dioxolen-5-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-Naphthyl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-[3-Benzylphenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-(Cyclohexylethyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-(Cyclohexylethyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-Indan-2-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-[4-(tert-Butyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(Methylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

Methylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

{2-[(4-Pyridylmethyl)amino](3-pyridyl)}-N-[4-trifluoromethoxy)phenyl]carboxamide;

N-(4-Ethylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(4-Butylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(4-Iodophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[3-(Hydroxyethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(3-Ethylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

Ethyl 2-methyl-5-[3-({2-[(4-pyridylmethyl)amino](3-pyridyl)}carbonylamino)phenyl]furan-3-carboxylate;

N-(3-Phenylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-Benzylphenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(6-Ethyl(2-pyridyl)){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(6-Propyl(2-pyridyl)){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(tert-Butyl)(2-pyridyl)]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(3-Hydroxyphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(Methylethyl)(2-pyridyl)]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[3,5-bis(Trifluoromethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-[4-Chloro-3-(trifluoromethyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-(3-Chlorophenyl){2-[(2-(4-pyridyl)ethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-(4-Phenoxyphenyl){2-[(2-(2-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;

2-[(Benzo[b]thiophen-3-ylmethyl)amino](3-pyridyl)}-N-(4-phenoxyphenyl)carboxamide;

N-(4-Phenoxyphenyl){2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;

N-[4-(Methylsulfonyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(1-Acetylindolin-6-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-Indolin-6-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-Indol-6-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-Indol-5-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-Indol-7-yl{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[3-(tert-Butyl)pyrazol-5-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(3-Phenylpyrazol-5-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-{2-[2-(dimethylamino)ethoxy]-5-(tert-butyl)phenyl}{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(tert-Butyl)-3-(4-methylpiperazinyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[3-(4-Methylpiperazinyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(4-Methylpiperazinyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}formamide;

N-[1-(1-Methyl-(4-piperidyl))indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[1-(1-Methyl-(4-piperidyl))indolin-6-yl]{2-[(2-(3-pyridyl)ethyl)amino](3-pyridyl)}carboxamide;

N-[1-(2-Piperidylethyl)indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[1-(2-Piperidylacetyl)indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[3,3-Dimethyl-1-(1-methyl(4-piperidyl))indolin-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(3,3-Dimethylindolin-6-yl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[3-(1-Methyl-(4-piperidyl))indol-5-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(1,1-Dimethyl-3-morpholin-4-ylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(tert-Butyl)phenyl]{2-[({2-[(1-methyl(4-piperidyl))-methoxy](4-pyridyl)}methyl)amino](3-pyridyl)}carboxamide;

N-(4-Bromo-2-fluorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(tert-Butyl)phenyl](2-{[(2-chloro(4-pyridyl))methyl]amino}(3-pyridyl))carboxamide;

{2-[({2-[3-(Dimethylamino)prop-1-ynyl](4-pyridyl)}methyl)amino](3-pyridyl)}-N-[4-(tert-butyl)phenyl]carboxamide;

(2-{[(2-Methoxy(4-pyridyl))methyl]amino}(3-pyridyl))-N-[4-(methylethyl)phenyl]carboxamide;

N-{3-[3-(Dimethylamino)propyl]-5-(trifluoromethyl)phenyl}-{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(tert-Butyl)-3-(3-piperidylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(tert-Butyl)-3-(3-pyrrolidinylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[3-((1E)-4-Pyrrolidinylbut-1-enyl)-4-(tert-butyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(tert-Butyl)-3-(3-morpholin-4-ylpropyl)phenyl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[1-(2-Morpholin-4-ylethyl)indol-6-yl]{2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(tert-Butyl)phenyl]{2-[(pyrimidin-4-ylmethyl)amino](3-pyridyl)}carboxamide;

N-(4-Chlorophenyl){2-[(pyrimidin-4-ylmethyl)amino](3-pyridyl)}carboxamide;

{2-[(Pyrimidin-4-ylmethyl)amino](3-pyridyl)}-N-[3-(trifluoromethyl)phenyl]carboxamide;

N-[4-(Isopropyl)phenyl]{4-[(4-pyridylmethyl)amino]pyrimidin-5-yl}carboxamide;

(2-{[(2-{2-[2-(Dimethylamino)ethoxy]ethoxy}(4-pyridyl))methyl]amino}(3-pyridyl))-N-[4-(tert-butyl)phenyl]carboxamide;

{2-[(4-Pyridylmethyl)amino](3-pyridyl)}-N-{4-[2,2,2-trifluoro-1-(2-piperidylethoxy)-1-(trifluoromethyl)ethyl]phenyl}carboxamide;

(2-{[(2-{2-[2-(Dimethylamino)ethoxy]ethoxy}(4-pyridyl))methyl]amino}-6-fluoro(3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;

N-[4-(*tert*-Butyl)phenyl]{6-fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

{6-Fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl)}-N-[4-(isopropyl)phenyl]carboxamide;

{6-Fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl)}-N-[3-(trifluoromethyl)phenyl]carboxamide;

N-(1-Bromo(3-isoquinolyl)){6-fluoro-2-[(4-pyridylmethyl)amino](3-pyridyl)}-carboxamide;

N-(4-Phenoxyphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-(4-Phenylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-(3-Phenoxyphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-(4-Cyclohexylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-(4-Imidazol-1-ylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(4-Morpholin-4-ylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

N-(4-Cyanonaphthyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide hydrochloride;

{2-[(4-Pyridylmethyl)amino](3-pyridyl)}-N-[4-(trifluoromethyl)phenyl]carboxamide hydrochloride;

Methyl-4-({2-[(4-pyridylmethyl)amino]-3-pyridyl}carbonylamino)benzoate hydrochloride;

N-[4-(Isopropyl)phenyl]{2-[(4-quinolylmethyl)amino](3-pyridyl)}carboxamide;

N-[4-(tert-Butyl)phenyl]{2-[(6-quinolylmethyl)amino](3-pyridyl)}carboxamide;

{2-[(6-quinolylmethyl)amino](3-pyridyl)}-N-[3-(trifluoromethyl)phenyl]carboxamide;

N-(4-chlorophenyl)-3-[(4-pyridinylmethylene)amino]-4-pyridinecarboxamide;

N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-thienyl)}carboxamide;

N-phenyl{3-[(4-pyridylmethyl)amino](2-thienyl)}carboxamide;

N-(4-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(3,4-dichlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}-carboxamide;

N-(3-chlorophenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](2-pyridyl)}carboxamide;

N-(4-chlorophenyl){3-[(6-quinolylmethyl)amino](2-pyridyl)}carboxamide;

N-(3,4-dichlorophenyl){2-[(6-quinolylmethyl)amino](3-pyridyl)}-carboxamide;

N-(4-chlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(3,4-dichlorophenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(3-fluoro-4-methylphenyl){6-methyl-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(3,4-dichlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(4-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

{6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}-N-(3-fluorophenyl)carboxamide;

N-(3-chlorophenyl){6-chloro-2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(4-chlorophenyl){3-[(4-pyridylmethyl)amino](4-pyridyl)}carboxamide;

N-(3-fluoro-4-methylphenyl){2-[(4-pyridylmethyl)amino](3-pyridyl)}carboxamide;

N-(4-chlorophenyl){2-[(4-quinolylmethyl)amino](3-pyridyl)}carboxamide;

N-(4-chlorophenyl){2-[(5-quinolylmethyl)amino](3-pyridyl)}carboxamide;

N-(4-chlorophenyl){2-[(4-pyridylethyl)amino]-5-(3-thienyl)-(3-pyridyl)}carboxamide;

N-(4-chlorophenyl){5-(4-methoxyphenyl)-2-[(4-pyridylmethyl)amino]-(3-pyridyl)}carboxamide;

N-(4-chlorophenyl){5-bromo-2-[(4-pyridylmethyl)amino]-(3-pyridyl)}carboxamide;

2-{[2-(1-Isopropyl-azetidin-3-ylmethoxy)-pyridin-4-ylmethyl]-amino}-N-(4-trifluoromethyl-phenyl)-nicotinamide;

N-(4-tert-Butyl-phenyl)-2-{[2-(1-isopropyl-azetidin-3-ylmethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

2-[(2,3-Dihydro-benzofuran-5-ylmethyl)-amino]-N-{4-[1-methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl}-nicotinamide;

N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2,3-dihydro-benzofuran-5-ylmethyl)-amino]-nicotinamide;

2-[(2,3-Dihydro-benzofuran-5-ylmethyl)-amino]-N-[3,3-dimethyl-1-(1-Boc-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-nicotinamide;

2-[(2,3-Dihydro-benzofuran-5-ylmethyl)-amino]-N-[3,3-dimethyl-1-(1-methylpiperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-nicotinamide;

N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-({2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl}-amino)-nicotinamide;

2-({2-[2-(1-Methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl}-amino)-N-(3-trifluoromethyl-phenyl)-nicotinamide;

N-(4-tert-Butyl-phenyl)-2-{[2-ethylpyridin-4-ylmethyl]-amino}-nicotinamide;

N-(4-tert-Butyl-phenyl)-2-({2-[2-(1-methyl-pyrrolidin-2-yl)-ethoxy]-pyridin-4-ylmethyl}-amino)-nicotinamide;

2-({2-[2-(1-Methyl-pyrrolidin-2-yl)-ethoxy]-pyridin-4-ylmethyl}-amino)-N-(4-pentafluoroethyl-phenyl)-nicotinamide;

N-(4-Pentafluoroethyl-phenyl)-2-{[2-(2-pyrrolidin-1-yl-ethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N-(4-tert-Butyl-phenyl)-2-{[2-(2-pyrrolidin-1-yl-ethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N-[3-(4-Boc-piperazin-1-ylmethyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3-(4-Boc-piperazine-1-carbonyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3-(4-Boc-piperazine-1-carbonyl)-5-trifluoromethyl-phenyl]-2-(2-pyridin-4-yl-ethylamino)-nicotinamide;

N-[3-(4-Methyl-piperazin-1-ylmethyl)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3-(4-Boc-piperazin-1-ylmethyl)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

2-{[2-(1-Methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino}-N-(4-trifluoromethyl-phenyl)-nicotinamide;

N-(4-tert-Butyl-phenyl)-2-{[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

2-({2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino)-N-(4-pentafluoroethyl-phenyl)-nicotinamide;

N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-yl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(1-Boc-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3,3-Dimethyl-1-(1-Boc-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-yl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[1-(2-Dimethylamino-acetyl)-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[1-(2-Dimethylamino-acetyl)-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-piperidin-4-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;

N-[3,3-Dimethyl-1-(1-Boc-pyrrolidin-2-ylmethoxy)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3,3-Dimethyl-1-(2-Boc-amino-acetyl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3,3-Dimethyl-1-(2-Boc-amino-acetyl)-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-methyl-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;

2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-piperidin-4-ylmethyl)-5-trifluoromethyl-phenyl]-nicotinamide;

2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(4-Boc-piperazin-1-ylmethyl)-5-trifluoromethyl-phenyl]-nicotinamide;

2-{[2-(3-Morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino}-N-(4-pentafluoroethyl-phenyl)-nicotinamide;

(S) 2-{[2-(1-Methyl-pyrrolidin-2-ylmethoxy)-pyridin-4-ylmethyl]-amino}-N-(4-pentafluoroethyl-phenyl)-nicotinamide;

N-(3-tert-Butyl-isoxazol-5-yl)-2-{[2-(3-morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-{[2-(3-morpholin-4-yl-propylamino)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N-(4-tert-Butyl-phenyl)-2-{[2-(3-morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N-(4-tert-Butyl-phenyl)-2-{[2-(2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

2-{[2-(2-Morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino}-N-(4-trifluoromethyl-phenyl)-nicotinamide;

2-{[2-(2-Morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino}-N-(3-trifluoromethyl-phenyl)-nicotinamide;

2-{[2-(2-Morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino}-N-(4-pentafluoroethyl-phenyl)-nicotinamide;

N-(3-tert-Butyl-isoxazol-5-yl)-2-{[2-(2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-{[2-(2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-{[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

2-{[2-(1-Methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-N-(4-trifluoromethyl-phenyl)-nicotinamide;

2-{[2-(1-Methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-N-(4-pentafluoroethyl-phenyl)-nicotinamide;

2-{[2-(1-Methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-N-(4-tert-butyl-phenyl)-nicotinamide;

(R) N-(4-tert-Butyl-phenyl)-2-{[2-(1-methyl-pyrrolidin-2-ylmethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

(R) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

(R) N-[3-(1-Methyl-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3-(1-Methyl-piperidin-4-yloxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3-(1-Methyl-piperidin-4-ylmethyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3-tert-Butyl-4-(1-Boc-pyrrolidin-2-ylmethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(3,3-Dimethyl-2,3-dihydro-benzofuran-6-yl)-2-{[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

2-({2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino)-N-(4-trifluoromethyl-phenyl)-nicotinamide;

2-({2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino)-N-(3-trifluoromethyl-phenyl)-nicotinamide;

2-({2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino)-N-(4-tert-butyl-phenyl)-nicotinamide;

2-({2-[3-(1-Methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino)-N-(3-tert-butyl-isoxazol-5-yl)-nicotinamide;

N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-({2-[3-(1-methyl-piperidin-4-yl)-propoxy]-pyridin-4-ylmethyl}-amino)-nicotinamide;

2-[(Pyridin-4-ylmethyl)-amino]-N-(3,9,9-trimethyl-2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluoren-6-yl)-nicotinamide;

N-[3,3-Dimethyl-1-(1-Boc-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

2-{[2-(1-Methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino}-N-(4-pentafluoroethyl-phenyl)-nicotinamide;

N-(3-tert-Butyl-isoxazol-5-yl)-2-{[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-{[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N-(4-tert-Butyl-phenyl)-2-{[2-(3-morpholin-4-yl-propylamino)-pyrimidin-4-ylmethyl]-amino}-nicotinamide;

2-{[2-(3-Morpholin-4-yl-propylamino)-pyrimidin-4-ylmethyl]-amino}-N-(4-pentafluoroethyl-phenyl)-nicotinamide;

2-{[2-(3-Morpholin-4-yl-propylamino)-pyrimidin-4-ylmethyl]-amino}-N-(3-trifluoromethyl-phenyl)-nicotinamide;

N-(4-tert-Butyl-phenyl)-2-({2-[2-(1-methyl-pyrrolidin-2-yl)-ethylamino]-pyrimidin-4-ylmethyl}-amino)-nicotinamide;

N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-({2-[2-(1-methyl-pyrrolidin-2-yl)-ethylamino]-pyrimidin-4-ylmethyl}-amino)-nicotinamide;

2-{[2-(1-Methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino}-N-[3-(1-methyl-piperidin-4-yl)-5-trifluoromethyl-phenyl]-nicotinamide;

N-(3-tert-Butyl-isoxazol-5-yl)-2-{[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N-[3-(1-Boc-azetidin-3-ylmethoxy)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-azetidin-3-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;

2-[(Pyridin-4-ylmethyl)-amino]-N-(2,2,4-trimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-nicotinamide;

N-(4-Acetyl-2,2-dimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(2,2-Dimethyl-3-oxo-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

2-{[2-(1-Benzhydryl-azetidin-3-yloxy)-pyridin-4-ylmethyl]-amino}-N-(4-tert-butyl-phenyl)-nicotinamide.

N-(4,4-Dimethyl-1-oxo-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(4-tert-Butyl-phenyl)-2-({2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl}-amino)-nicotinamide;

N-(3-tert-Butyl-isoxazol-5-yl)-2-({2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl}-amino)-nicotinamide;

N-(3-trifluoromethylphenyl)-2-({2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl}-amino)-nicotinamide;

2-[(2,3-Dihydro-benzofuran-6-ylmethyl)-amino]-N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-pentafluoroethyl-phenyl]-nicotinamide;

(R) N-[3-(2-Hydroxy-3-pyrrolidin-1-yl-propoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

(S) N-[3-(2-Hydroxy-3-pyrrolidin-1-yl-propoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[4-tert-Butyl-3-(1-methyl-piperidin-4-ylmethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3-(1-Methyl-piperidin-4-ylmethoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[4-Pentafluoroethyl-3-(2-piperidin-1-yl-ethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[4-Trifluoromethyl-3-(2-piperidin-1-yl-ethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

(S) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

(R) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

(R) N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-pentafluoroethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(4-tert-Butyl-phenyl)-2-{[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;N-(3-Trifluoromethyl-phenyl)-2-{[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

Cu) N-(3-tert-Butyl-isoxazol-5-yl)-2-{[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-nicotinamide was prepared with pyridine and TEA at 90C.

N-[3-(3-Piperidin-1-yl-propyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide ;

N-[3-(3-Morpholin-4-yl-propyl)-5-trifluoromethyl-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(1-Boc-piperidin-4-yloxy)-5-trifluoromethyl-phenyl]-nicotinamide;

N-{4-tert-Butyl-3-[2-(1-Boc-piperidin-4-yl)-ethyl]-phenyl}-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide ;

N-[4-tert-Butyl-3-(1-methyl-azetidin-3-ylmethoxy)-phenyl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(3,3-Dimethyl-1,1-dioxo-2,3-dihydro-1H-1λ-benzo[d]isothiazol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[1,1,4,4-Tetramethyl-1,2,3,4-tetrahydro-naphth-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-{4-[1-Methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl}-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-{4-[1-methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl}-nicotinamide;

N-(3,3-Dimethyl-2,3-dihydro-benzofuran-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-({2-[2-(1-methyl-piperidin-4-yl)-ethoxy]-pyridin-4-ylmethyl}-amino)-nicotinamide;

N-(2,2-Dimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-{[2-(1-methyl-piperidin-4-ylmethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N-(3,3-Dimethyl-1-piperidin-4-yl-2,3-dihydro-1H-indol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-({2-[2-(1-methyl-pyrrolidin-2-yl)-ethylamino]-pyrimidin-4-ylmethyl}-amino)-nicotinamide;

N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

N-[3,3-Dimethyl-1-(piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

N-(3,3-Dimethyl-1-piperidin-4-yl-2,3-dihydro-1H-indol-6-yl)-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(piperidin-4-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;

N-[3,3-Dimethyl-1-(pyrrolidin-2-ylmethoxy)-2,3-dihydro-1H-indol-6-yl]-2-[(2-methoxy-pyridin-4-ylmethyl)-amino]-nicotinamide;

2-[(2-Methoxy-pyridin-4-ylmethyl)-amino]-N-[3-(piperazin-1-ylmethyl)-5-trifluoromethyl-phenyl]-nicotinamide;

N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-{[2-(2-morpholin-4-yl-ethoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-{[2-(1-methyl-piperidin-4-yloxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-{[2-(2-morpholin-4-yl-propoxy)-pyridin-4-ylmethyl]-amino}-nicotinamide;

N-(4-Pentafluoroethyl-phenyl)-2-[(pyrimidin-4-ylmethyl)-amino]-nicotinamide;

2-{[2-(Azetidin-3-yloxy)-pyridin-4-ylmethyl]-amino}-N-(4-tert-butyl-phenyl)nicotinamide;

N-(2,3,3-Trimethyl-1,1-dioxo-2,3-dihydro-1H-1λ-benzo[d]isothiazol-6-yl)-2-[(pyridin-4-ylmethyl)-amino]-benzamide;

N-[3,3-Dimethyl-1,1-dioxo-2-(2-piperidin-1-yl-ethyl)-2,3-dihydro-1H-1λ'-benzo[d]isothiazol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide; and

N-[2-(2-Dimethylamino-ethyl)-3,3-dimethyl-1,1-dioxo-2,3-dihydro-1H-1λ'-benzo[d]isothiazol-6-yl]-2-[(pyridin-4-ylmethyl)-amino]-nicotinamide.

56. Compound of Claim 1 wherein ring A is selected from dihydropyran, dihydrothienyl, dihydrofuryl, oxo-dihydrofuryl, pyrrolinyl, dihydrothiazolyl, dihydro-oxazolyl, dihydro-isothiazolyl, dihydro-isoxazolyl, imidazolinyl, pyrazolinyl, triazinyl, thienyl, furanyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyrazolyl, isoxazolyl, triazolyl and isothiazolyl.

57. Compound of Claim 1 wherein R is selected from substituted or unsubstituted, saturated or partially saturated 5-6 membered heterocyclyl, and substituted or unsubstituted saturated or partially saturated fused 9-, 10- or 11-membered heterocyclyl.

58. Compound of Claim 1 wherein R^1 is selected from

a) substituted or unsubstituted saturated or partially saturated 5-6 membered heterocyclyl, and

b) substituted or unsubstituted saturated or partially saturated 9-11 membered fused heterocyclyl.

59. Compound of Claim 58 wherein A is pyridyl.

60. Compound of Claim 1 wherein R^1 is selected from non-nitrogen-containing heteroaryl.

61. Compound of Claim 60 wherein R^1 is selected from pyranyl, furyl, thienyl, benzofuryl, and benzothienyl.

62. Compound of Claim 1 wherein R^1 is substituted with a substituent selected from $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CONHR^3$, $-COR^3$, $-NHR^3$, $-SO_2NHR^3$, $-NHC(O)OR^3$, $-NHC(O)R^3$ and optionally substituted 5-6 membered heterocyclyl-C_1-C_2-alkylenyl; and wherein R^3 is selected from 5-6 membered heterocyclyl.